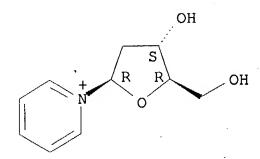
Absolute stereochemistry.



2 REFERENCES IN FILE CA (1947 TO DATE) 2 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L23 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

135339-72-9 REGISTRY
Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-CN (9CI) (CA INDEX NAME)

STEREOSEARCH FS

MF C11 H15 N2 O4

SR

STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, USPATFULL LC(*File contains numerically searchable property data)

- 5 REFERENCES IN FILE CA (1947 TO DATE)
- 5 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L23 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

RN

444342-73-8 REGISTRY
Pyridinium, 3-(aminocarbonyl)-1-[(2R)-2-deoxy-.beta.-D-erythro-CN pentofuranosyl-2-t]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H14 N2 O4 T

SR CA

LCSTN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES IN FILE CAPLUS (1947 TO DATE)

L23 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

444342-71-6 REGISTRY RN

Pyridinium, 1-(2-deoxy-5-0-phosphono-.beta.-D-erythro-pentofuranosyl)-CN (9CI) (CA INDEX NAMÉ)

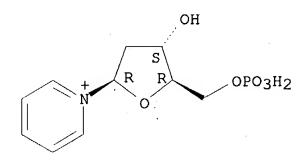
FS STEREOSEARCH

MF C10 H15 N O6 P

SR. CA

STN Files: CA, CAPLUS, USPATFULL LC

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1947 TO DATE)

1 REFERENCES, IN FILE CAPLUS (1947 TO DATE)

ANSWER 3 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN L23

444342-70-5 REGISTRY RN

CN Pyridinium, 1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

STEREOSEARCH FS

MF C10 H14 N O3

SR CA

LCSTN Files: CA, CAPLUS, CASREACT, USPATFULL

=> d que 17 SEA FILE=REGISTRY ABB=ON PLU=ON (119340-53-3/BI OR 135339-72-9/BI OR 135622-82-1/BI OR 159501-37-8/BI OR 21740-23-8/BI OR 26042-64-8/BI OR 444342-68-1/BI OR 444342-69-2/BI OR 444342-70-5/BI OR 444342-71-6/BI OR 444342-72-7/BI OR 444342-73-8/BI OR 53-84-9/BI OR 5624-35-1/BI OR 58319-92-9/BI OR 89190-48-7/BI OR 98-92-0/BI) L7 STR 3 1 N 8 CH~ G2 G2~^ C~^ G2 G2~^ C~^ G2 11 @12 13 @9 10 CH VAR G1=CH2/9/12 VAR G2=X/NH2/SH NODE ATTRIBUTES: NSPEC IS R CONNECT IS E1 RC AT 7 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13 STEREO ATTRIBUTES: NONE

L9

46056 SEA FILE=REGISTRY SSS FUL L7

4 SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND L9 L10

L19

CH~G2 G2~C~G2 CH2-O 11 @12 13 **@9** 10 @14 15 5 CH~~G1

 $CH2G4 \sim P \stackrel{...}{=} O$ @16 17 18 19

VAR G1=CH2/9/12 VAR G2=X/NH2/SH VAR G3=CH3/14/16 VAR G4=C/N/O/S

NODE ATTRIBUTES: NSPEC IS R

CONNECT IS E1 RC AT CONNECT IS E1 RC AT 15

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L20 40593 SEA FILE=REGISTRY SUB=L9 SSS FUL L19

L21 33792 SEA FILE=REGISTRY ABB=ON PLU=ON L20 AND NC=1 L22 6978 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND NRS<3 L23 4 SEA FILE=REGISTRY ABB=ON PLU=ON L10 AND L22

L32 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L23

=> d ibib abs hitstr 132 1-5

L32 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:575048 HCAPLUS

DOCUMENT NUMBER:

137:140731

TITLE:

Preparation of nucleoside analogs as inhibitors of

ADP-ribosyl transferases, cyclases, and hydrolases

INVENTOR(S): Sauve, Anthony A.; Schramm, Vern L.

PATENT ASSIGNEE(S):

Albert Einstein College of Medicine of Yeshiva

University, USA

SOURCE:

PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

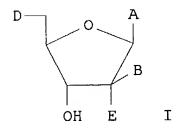
LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	rent i	NO.		KII	4D	DATE			A.	PPLI	CATI	ои ис).	DATE			
	2002		21			20021	0801		 W	200	72-U	 5371		20020	0104		
WO																CII	CNI
	W:					ΑT,											
						DE,											
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
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. US	2002	1327	83	Α	1	2002	0919		U	s 20	02-3	8760		2002	0104		
PRIORIT	Y APP	LN.	INFO	.:				1	US 2	001-	2597	20P-	P	2001	0104		
OTHER S	OURCE	(S):			MAR	PAT	137:	1407	31								
GI															-		



The present invention provides the prepn. of nucleoside analogs I as ABinhibitors of $\mbox{ADP-ribosyl}$ transferases, cyclases, and hydrolases, wherein A is chosen from a nitrogen-, oxygen-, or sulfur-linked aryl, alkyl, cyclic, or heterocyclic group; both B and E are hydrogen, or either B or E is a halogen, amino, or thiol group and the other of B or E is hydrogen; and D is a primary alc., a hydrogen, or an oxygen, nitrogen, carbon, or sulfur linked to phosphate, a phosphoryl group, a pyrophosphoryl group, or adenosine monophosphate through a phosphodiester or carbon-, nitrogen-, or sulfur- substituted phosphodiester bridge, or to ADP through a phosphodiester or carbon-, nitrogen-, or sulfur-substitutes pyrophosphodiester bridge. The present invention also provides pharmaceutical compns. contg. the above compds., methods of using the above compds. as pharmaceuticals, and processes for prepg. the above compds. Also provided are methods for inhibiting an ADP-ribosyl transferase, ADP-ribosyl cyclase, or ADP-ribosyl hydrolase enzyme, and methods for treating a disease or condition assocd. with an ADP-ribosyl transferase, ADP-ribosyl cyclase, or ADP-ribosyl hydrolase enzyme in a subject in need of treatment thereof.

IT 444342-73-8

RL: BSU (Biological study, unclassified); BIOL (Biological study) (prepn. of nucleoside analogs as inhibitors of ADP-ribosyl transferases, cyclases, and hydrolases)

RN 444342-73-8 HCAPLUS

CN Pyridinium, 3-(aminocarbonyl)-1-[(2R)-2-deoxy-.beta.-D-erythro-pentofuranosyl-2-t]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 135339-72-9P 444342-70-5P 444342-71-6P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleoside analogs as inhibitors of ADP-ribosyl transferases, cyclases, and hydrolases)

RN 135339-72-9 HCAPLUS

CN Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

RN 444342-70-5 HCAPLUS

CN Pyridinium, 1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

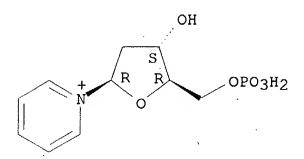
Absolute stereochemistry.

RN 444342-71-6 HCAPLUS

CN

Pyridinium, 1-(2-deoxy-5-O-phosphono-.beta.-D-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:426872 HCAPLUS

DOCUMENT NUMBER: 137:151677

TITLE: Mechanism-Based Inhibitors of CD38: A Mammalian Cyclic

ADP-Ribose Synthetase

AUTHOR(S): Sauve, Anthony A.; Schramm, Vern L.

CORPORATE SOURCE: Department of Biochemistry, Albert Einstein College of

Medicine, Bronx, NY, 10461, USA

SOURCE: Biochemistry (2002), 41(26), 8455-8463

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:151677

The sol. domain of human CD38 catalyzes the conversion of NAD+ to cyclic AΒ ADP-ribose and to ADP-ribose via a common covalent intermediate. Here we establish that mechanism-based inhibitors can be produced by chem. stabilization of this intermediate. The compds. nicotinamide 2'-deoxyriboside (1), 5-methylnicotinamide 2'-deoxyriboside (2), and pyridyl 2'-deoxyriboside (3) were synthesized and evaluated as inhibitors for human CD38. The nicotinamide derivs. 1 and 2 were inhibitors of the enzyme as detd. by competitive behavior in CD38-catalyzed conversion of nicotinamide guanine dinucleotide (NGD+) to cyclic GDP-ribose. The Ki values for competitive inhibition were 1.2 and 4.0 .mu.M for 1 and 2, Slow-onset characteristics of reaction progress curves indicated a second higher affinity state of these two inhibitors. Inhibitor off-rates were slow with rate consts. koff of 1.5 .times. 10-5 s-1 for 1 and 2.5 .times. 10-5 s-1 for 2. Apparent dissocn. consts. Ki(total) for 1 and 2 were calcd. to be 4.5 and 12.5 nM, resp. The similar values for koff are consistent with the hydrolysis of common enzymic intermediates formed by the reaction of 1 and 2 with the enzyme. Both form covalently attached deoxyribose groups to the catalytic site nucleophile. Chem. evidence for this intermediate is the ability of nicotinamide to rescue enzyme activity after inactivation by either 1 or 2. A covalent intermediate is also indicated by the ability of CD38 to catalyze base exchange, as obsd. by conversion of 2 to 1 in the presence of nicotinamide. The deoxynucleosides 1 and 2 demonstrate that the chem. determinants for mechanism-based inhibition of CD38 can be satisfied by nucleosides that lack the 5'-phosphate, the adenylate group, and the 2'-hydroxyl moiety. In addn., these compds. reveal the mechanism of CD38 catalysis to proceed by the formation of a covalent intermediate during normal catalytic turnover with faster substrates. The covalent 2'-deoxynucleoside inactivators of CD38 are powerful inhibitors by acting as good substrates for formation of the covalent intermediate but are poor leaving groups from the intermediate complex because hydrolytic assistance of the 2'-hydroxyl group is lacking. The removal of the adenylate nucleophile required for the cyclization reaction provides slow hydrolysis as the only exit from the covalent complex.

135339-72-9P 444342-70-5P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of mechanism-based inhibitors of CD38, a mammalian cyclic ADP-ribose synthetase)

RN 135339-72-9 HCAPLUS

IT

CN Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444342-70-5 HCAPLUS

CN Pyridinium, 1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

REFERENCE COUNT:

42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:644067 HCAPLUS

DOCUMENT NUMBER:

126:7496

TITLE:

Reactions of Charged Substrates. 6. The Methoxymethyl Carbenium Ion Problem. 1. A Semiempirical Study of the Kinetic and Thermodynamic Stabilities of Linear and Cyclic Oxo- and Thiocarbenium Ions Generated from

Pyridiniums and Dimethylaniliniums

AUTHOR(S):

Buckley, Neil; Oppenheimer, Norman J.

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, University of

California, San Francisco, CA, 94143-0446, USA

SOURCE:

Journal of Organic Chemistry (1996), 61(23), 8039-8047

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal English LANGUAGE:

AM1-calcd. energy profiles for dissocn. of (methoxymethyl)pyridinium and dimethylanilinium substrates show that the methoxymethyl carbenium ion is not sufficiently stable to exist as an intermediate on the reaction coordinate for this model reaction. [(Thiomethoxy)methyl]pyridinium, however, has a distinct transition state because of the stability of the resulting ion-neutral complex. The complete potential energy surfaces for water displacement on the methoxymethyl substrate with either pyridine or dimethylaniline as the leaving group show distinct transition states and very flat surfaces for the ion-neutral complexes in which interaction of the carbenium ion with both leaving group and nucleophile is stabilizing. Secondary systems studied, including linear methoxy and thiomethoxy substrates, 5- and 6-membered cyclic oxo and thio substrates, and ribosyl-, xylopyranosyl-, and glucopyranosylpyridiniums yield ion-neutral complexes with sufficient intrinsic stability to exist as intermediates. Comparison with soln. data, primarily activation entropy and Broensted coeffs., suggests that the sugar oxocarbenium ions, either as distinct, solvent-equilibrated intermediates or elements of ion-neutral complexes, are formed by unimol. dissocn. of the resp. substrates in soln.

ΙT 135339-72-9

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (MO study of kinetic and thermodn. stabilities of oxo- and thiocarbenium ions from pyridiniums and dimethylaniliniums)

RN 135339-72-9 HCAPLUS

Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erýthro-pentofuranosyl)-CN

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L32 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:457867 HCAPLUS

Ι

DOCUMENT NUMBER: 121:57867

TITLE: Reactions of Charged Substrates. 2. Gas-Phase

Dissociation of 2'-Substituted Nicotinamide

Arabinosides

AUTHOR(S): Buckley, Neil; Handlon, Anthony L.; Maltby, David;

Burlingame, Alma L.; Oppenheimer, Norman J.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of

California, San Francisco, CA, 94143-0446, USA

SOURCE: Journal of Organic Chemistry (1994), 59(13), 3609-15

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

HO R OH

GT

The relative abundances of ribosyl oxocarbenium ion-related cations in the gas-phase dissocn. of five 2'-substituted .beta.-nicotinamide arabinosides I (R = H, OH, NH2, NAc, F) follow the Taft equation with .sigma.F. The first-order rate consts. for the pH-independent hydrolysis of these substrates follow .rho.I, which is based on soln. acidities of the same series of compds. used to define .sigma.F in the gas phase. There is direct evidence that the NAc substrate reacts through an ion-dipole complex. Energy profiles were calcd. in AM; while there are some apparent anomalies in the method that can be sorted out easily, the activation enthalpies and energies of the various structures are consistent with the proposed mechanism. A plot of the AM1-calcd. values of .DELTA.H.thermod. for gas-phase dissocn. vs the log of the relative abundances for the resp.

species is linear, as is a plot of the soln. .DELTA.G.thermod. and the gas-phase .DELTA.H.thermod.. Comparison of soln. and gas-phase results suggests that an ion-dipole complex is an intermediate in both phases, but that the rate-limiting step is different.

135339-72-9 IT

RL: PROC (Process)

(gas phase dissocn. of)

135339-72-9 HCAPLUS RN

Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L32 ANSWER 5 OF 5 HCAPLUS, COPYRIGHT 2003 ACS on STN

1991:583757 HCAPLUS ACCESSION NUMBER:

Ι

115:183757 DOCUMENT NUMBER:

Substituent effects on the pH-independent hydrolysis TITLE:

of 2'-substituted nicotinamide arabinosides Handlon, Anthony L.; Oppenheimer, Norman J.

AUTHOR(S): Dep. Pharm. Chem., Univ. California, San Francisco,

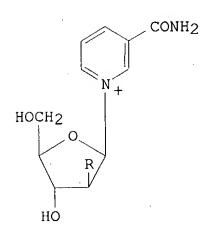
CORPORATE SOURCE: CA, 94143-0446, USA

Journal of Organic Chemistry (1991), 56(17), 5009-10 SOURCE:

CODEN: JOCEAH; ISSN: 0022-3263

Journal DOCUMENT TYPE: English LANGUAGE:

GI



The rate consts. for the pH-independent hydrolysis of the nicotinamide AΒ .beta.-D-arabinofuranosides I (R = H, NH2, NHAc, OH, N3, F) have been

measured. The log of the rate consts. are linearly dependent on the inductive sigma const., .sigma.I, according to the equation $\log(k) = .\text{rho.I..sigma.I} + \log(k0)$. The value of .rho.I is -6.7 (R = 0.99) and indicates an electron-deficient activated complex, consistent with a dissociative mechanism. The nicotinamide arabinoside system allows the direct detn. of inductive effects from carbohydrate substituents on the intrinsic stability of oxocarbocationic intermediates.

IT **135339-72-9**

RL: PRP (Properties)
 (kinetics of hydrolysis of)

RN 135339-72-9 HCAPLUS

CN Pyridinium, 3-(aminocarbonyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

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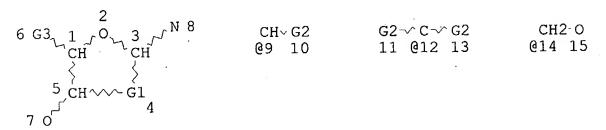
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L9 46056 SEA FILE=REGISTRY SSS FUL L7
L19 STR



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VAR G1=CH2/9/12
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NSPEC IS R AT 8
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

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L35 ANSWER 1 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN
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2003:570803 HCAPLUS ACCESSION NUMBER:

TITLE: Gemcitabine for the treatment of smallpox

Glass, John Irvin INVENTOR(S):

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	э.	DATE			
	WO	2003	0593	34	Α.	2	2003	0724		M	20	02-U	5315	70	2002	1015		
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included) to the patient. IT INDEXING IN PROGRESS

ΙT 95058-81-4P, Gemcitabine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(gemcitabine for treatment of smallpox)

RN 95058-81-4 HCAPLUS

Cytidine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME) CN

L35 ANSWER 2 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:570539 HCAPLUS

TITLE:

Method for detecting single nucleotide polymorphisms

in nucleic acids using RT-PCR

INVENTOR(S):

Dawson, Elliott P.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S.

Ser. No. 994,119, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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			CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
	US	6322	988		B	1	2001	1127		U	S 20	00-7	1913	0	2000	1208		
	US	2002	1646	06	A.	1	2002	1107	•	U	S 20	01-9	9411	9	2001	1126		
PRIO	RITY	APP	LN.	INFO	. :				1	US 1	998-	9713	6P	P	1998	0819		
									•	wo 1	999-1	US18	965	W	1999	0819		
									1	US 2	000-	7191	30	A1	2000	1208		
															2001			
		_		_		_			_			-				·.		_

AB A method for detg. the presence, location or identity, or a combination of these, of the nucleotides in a polynucleotide. A method for detg. the presence, location or identity, or a combination of these, of one or more than one nucleotide difference between a first polynucleotide and a second polynucleotide, or between more than two polynucleotides.

IT 365-08-2, DTTP 1173-82-6, DUTP 2056-98-6, DCTP

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(method for detecting single nucleotide polymorphisms in nucleic acids using RT-PCR)

RN 365-08-2 HCAPLUS.

CN Thymidine 5'-(tetrahydrogen triphosphate) (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 1173-82-6 HCAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 2056-98-6 HCAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 3 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:551665 HCAPLUS

TITLE:

Process for producing 2'-deoxyguanosine

INVENTOR(S):

Noguchi, Toshitada; Hamamoto, Tomoki; Okuyama,

Kiyoshi; Shibuya, Susumu Yamasa Corporation, Japan

PATENT ASSIGNEE(S):

PCT Int. Appl., 31 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PA	TENT 1	.OV		KII	ND	DATE			A)	PPLI	CATI	ои ис) .	DATE			
 WC	2003	 0578:	95	 A:	- <i>-</i> 1	2003	0717		W(20	 02-J	P133	54	2002	1220		
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL.	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	υĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,
		RU,	TJ,	TM													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŅG,	ZM,	ZW,	AT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
		PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG								•			
PRIORIT	Y APP	LN.	INFO	. :					JP 2	001-	3994	55					
									JP 2	002-	2123	48	Α	2002	0722		

A process for producing 2'-deoxyguanosine is characterized by reacting at ΑB least one compd. selected from the group consisting of guanosine, GMP, and 6-substituted 2-aminopurine with 2'-deoxynucleoside in the presence of nucleoside deoxyribosyl transferase and a hydrolysis enzyme such as nucleosidase. By the process, 2'-deoxyguanosine can be efficiently synthesized from inexpensive and easily available starting materials. Since guanosine, which can be an obstacle to purifn., is hardly present in the reaction mixt., isolation and purifn. are extremely easy. Thus, the process for producing 2'-deoxyguanosine is practical.

INDEXING IN PROGRESS ΙT

50-89-5, Thymidine IT

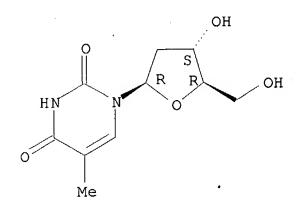
RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(2'-deoxyguanosine easy manuf. with nucleoside deoxyribosyl transferase and hydrolysis enzyme coupling)

50-89-5 HCAPLUS RN

Thymidine (8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS . 10 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:551379 HCAPLUS

TITLE:

Combinations comprising epothilones and

anti-metabolites

INVENTOR(S):

Hohneker, John Arthur; Mcsheehy, Paul M. J.;

Rothermel, John David

PATENT ASSIGNEE(S):

Novartis Ag, Switz.; Novartis Pharma Gmbh

SOURCE:

PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND I	DATE		AP	PLIC	CATIO	ON NC).	DATE			
WO 2003057217	A1 2	20030717		WO	200)3-EF	232	-	20030	0113		
W: AE, AG	AL, AM,	AT, AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
CO, CR	CU, CZ,	DE, DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
HR, HU	, ID, IL,	IN, IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LT,	LU,
LV. MA	, MD, MK,	MN, MX,	NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SC,	SE,
	TJ, TM,											
AZ, BY	, KG, KZ,	MD, RU,	ТJ,	MT								
RW: AT, BE	, BG, CH,	CY, CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,
	, MC, NL,		SI,	SK,	TR							
PRIORITY APPLN. INF			U	JS 20	02-3	34862	22P	P	2002	0114		
			υ	JS 20	02-4	1161	73P	P	2002	1004		

AB A combination of drugs comprises (a) an antineoplastic antimetabolite and (b) an epothilone deriv. and optionally 1 carrier and/or, and a std. anti-diarrheal for simultaneous, sep. or sequential use, in particular, for the treatment of a proliferative diseases. Further, a pharmaceutical compn. comprises such a combination. Thus, a patient with advanced renal cancer received 0.5 mg/m2 of epothilone B as a 5-min bolus infusion for 3 wk followed by 1 wk off. Starting in the second week of the epothilone treatment and at least 2 h after the treatment, capecitabine was administered orally to the patient twice daily at a dosage of 1250 mg/m2 for 2 wk followed by 1 wk off.

IT 95058-81-4, Gemcitabine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations comprising epothilones and anti-metabolites)

RN 95058-81-4 HCAPLUS

CN Cytidine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:551072 HCAPLUS

TITLE:

Methods and kits for direct exponential amplification

and sequencing of nucleic acids by addition of a

second thermostable DNA polymerase Kilger, Christian; Paabo, Svante

INVENTOR(S): PATENT ASSIGNEE(S):

Germany

SOURCE:

U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S.

Ser. No. 311,723, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
	···	· ·	
US 2003134276	A 1	20030717	US 1999-339104 19990624
DE 19653439	A1	19980702	DE 1996-19653439 19961220
US 6107032	Α	20000822	US 1997-991347 19971216
. US 2002192661	A1	20021219	US 2001-956342 20010920
PRIORITY APPLN. INFO.	:		DE 1996-19653439 A 19961220
			US 1997-991347 A2 19971216
			US 1999-311723 B2 19990514

A method is described for the direct, exponential amplification and AΒ sequencing ("DEXAS") of a DNA mol. from a complex mixt. of nucleic acids, wherein truncated DNA mols. as well as DNA mols. of full length are synthesized simultaneously and exponentially between two positions on the said DNA mol., which initially contains a DNA mol. in a thermocycling reaction, a first primer, a second primer, a reaction buffer, a thermostable DNA polymerase, a thermostable pyrophosphatase (optionally), deoxynucleotides or derivs. thereof and a dideoxynucleotide or derivs. thereof. In a preferred embodiment of the method of the invention, direct sequencing of RNA can be performed using one polymerase having a Tabor-Richardson mutation, or a functional deriv. thereof, and reverse transcriptase activity. In a more preferred embodiment of the method of the invention, direct sequencing of RNA can be performed in one step, in one vessel.

ΙT 365-08-2, DTTP 2056-98-6, DCTP

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(methods and kits for direct exponential amplification and sequencing of DNA by addn. of second thermostable DNA polymerase)

RN 365-08-2 HCAPLUS

CN Thymidine 5'-(tetrahydrogen triphosphate) (8CI, 9CI) (CA INDEX NAME)

RN 2056-98-6 HCAPLUS

Cytidine 5'-(tetrahydrogen triphosphate), 2'-deoxy- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L35 ANSWER 6 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:532783 HCAPLUS

TITLE:

Methods and kits for multiple nucleic acid sequencing

for diagnosis of diseases

INVENTOR(S):

Eshleman, James R.; Murphy, Kathleen M.

PATENT ASSIGNEE(S): The Johns Hopkins University, USA PCT Int. Appl., 90 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	ENT 1	, O <i>l</i>		KI	ИD	DATE			A.	PPLI	CATI	ON NC	Э.	DATE			
	WO	2003	0560	30	A:	2	2003	0710		W	0 20	02-U	s360'	75	2002	1108		
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,
,	,		ТJ,	TM														
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			ΝE,	SN,	TD,	TG												
PRIO	RITY	APP	LN.	INFO	. :				, 1	US 2	001-	3482	02P _.	\mathbf{P}_{\cdot}	2001	1108		
									1	US 2	001-	3323	17P	P	2001	1109		

US 2002-361125P P 20020301

Methods for the simultaneous sequencing of multiple nucleic acid mols. are AR provided. Preferred methods include simultaneous single-direction sequencing of multiple genes or forward and reverse sequencing from a single gene, within a single reaction vessel. Addnl. methods of the invention include combined amplification and sequencing of nucleic acids, from a variety of sources, within a single reaction and wherein nucleic acid products also can be simultaneously analyzed, and where the reaction can be either bidirectional or long unidirectional. Addnl. methods encompass combined amplification and sequencing of multiple nucleic acid mols. simultaneously.

IT 25086-81-1, polythymidine

RL: ARG (Analytical reagent use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(tail in primer; methods and kits for multiple nucleic acid sequencing for diagnosis of diseases)

25086-81-1 HCAPLUS RN

5'-Thymidylic acid, homopolymer (9CI) (CA INDEX NAME) CN

CM 1

365-07-1 CRN

C10 H15 N2 O8 P CMF

Absolute stereochemistry.

L35 ANSWER 7 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

TITLE:

2003:532677 HCAPLUS

Redox-labeled nucleoside analogs, enzymic redox

labelling of nucleic acids, and methods for electrochemical detection of nucleic acids Wlassof, Wjatschesslaw; King, Garry Charles

INVENTOR(S): Unisearch Limited, Australia PATENT ASSIGNEE(S):

PCT Int. Appl., 45 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. KIND DATE PATENT NO. 20030710 WO 2002-AU1767 WO 2003055898 ... A1

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

AU 2001-9752 A 20011224

AB A modified nucleoside analog P - S - B - L - R (P = 5' triphosphate or analog or deriv. thereof; S = (substituted) 5- or 6-membered sugar, sugar analog, or acyclo sugar analog, but excluding a dideoxy-sugar; B = (substituted) nitrogenous base, base analog, or deriv. thereof; L = linker group; R = (substituted) metallocene moiety, metal complex, redox-active org. moiety) is disclosed. The modified nucleoside is capable of enzymic incorporation into a nucleotide chain and allows for redox labeling of nucleotides.

IT 116840-18-7 557077-93-7

RL: RCT (Reactant); RACT (Reactant or reagent) (redox-labeled nucleoside analogs, enzymic redox labeling of nucleic acids, and methods for electrochem. detection of nucleic acids)

RN 116840-18-7 HCAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 5-[(1E)-3-amino-1-propenyl]-2'-deoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 557077-93-7 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

The title compds. [I; X = OR3, NR3R4; R1 = H, alkyl; R2 = (un)substituted cycloalkyl, Ph, (un)satd. 4-8 membered heterocyclyl contg. 1-3 heteroatoms selected from O and S; R3 = H, alkyl; R4 = (CH2)mA, (CH2)pOA; A = (un)substituted cycloalkyl, (un)satd. 4-8 membered heterocyclyl contg. 1-4 heteroatoms selected from N, O and S, etc.; or NR3R4 = (un)satd. 4-8 membered heterocyclyl contg. 0-4 heteroatoms selected from N, O and S; m, p = 0-5; q = 0-1; q + (m or p) = 1-6], useful for the inhibiting the prolylpeptidase, inducing apoptosis and treating cancer, were prepd. E.g., a 3-step synthesis of I [X = (2-thienylmethyl)amino; R1 = H; R2 = 4-(MeO2C)C6H4; q = 1], starting with thieno[3,2-d]pyrimidine-2,4-diol, was given. All exemplified compds. I were found to inhibit prolylpeptidase at or below of 10 .mu.M.

IT 50-91-9, 5-Fluorodeoxyuridine 134-46-3, 5-Fluorodeoxyuridine monophosphate 95058-81-4, 2',2'-Difluorodeoxycytidine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antiproliferative agent; prepn. of thienopyrimidines for inducing apoptosis and treating cancer in combination with other agents)

RN 50-91-9 HCAPLUS

CN Uridine, 2'-deoxy-5-fluoro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 134-46-3 HCAPLUS CN 5'-Uridylic acid, 2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95058-81-4 HCAPLUS CN Cytidine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 9 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:532653 HCAPLUS

TITLE:

Preparation of quinazolines and quinolines as

inhibitors of prolylpeptidase, inducers of apoptosis

and cancer treatment agents

INVENTOR(S):

Dumas, Jacques; Sibley, Robert; Smith, Roger; Su, Ning; Chen, Yuanwei; Wood, Jill; Guernon, Leatte; Dixon, Julie; Brennan, Catherine; Boyer, Stephen

PATENT ASSIGNEE(S):

Bayer Corporation, USA; et al. PCT Int. Appl., 266 pp.

SOURCE:

GΙ

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT :	NO.		KI	ND	DATE			A.	PPLI	CATI	ои ис	0.	DATE			
	WO	2003	0558	66	 A	1	2003	0710		W(20	02-U	5411	 76	2002	1220		
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
												•			ΚZ,			
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
	•		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,
			RU,	TJ,	TM													
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,
			PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	ΤG											
PRIO	RITY	APP	LN.	INFO	.:					US 20	001-	3431	12P	P	2001	1221		

The title compds. [I or II; Z = CH, N; Y = O, S; X = OR5, NR5R6; R1, R2 = H, NH2, CN, halo, OH, NO2 (wherein R1 and R2 are both not H); R3 = H, alkyl; R4 = (CH2)yR41 (R41 = (un)substituted alkyl; y = 0-2)], useful for the inhibiting the prolyl peptidase, inducing apoptosis and treating cancer, were prepd. Thus, reacting 2,4,6-trichloroquinazoline (prepn. given) with Me 4-(aminomethyl)benzoate.HCl in the presence of AcONa in H2O followed by treating the resulting Me 4-{[(2,6-dichloro-4-quinazolinyl)amino]methyl}benzoate with piperidine afforded I [Z = N; X = piperidino; R1 = H; R2 = Cl; R3 = H; R4 = 4-(MeO2C)C6H4CH2]. Most of the exemplified compds. I and II were found to inhibit prolylpeptidase at or below of 10 .mu.M.

IT 50-91-9, 5-Fluorodeoxyuridine 134-46-3,
5-Fluorodeoxyuridine monophosphate 95058-81-4,
2',2'-Difluorodeoxycytidine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antiproliferative agent; prepn. of quinazolines and quinolines for inducing apoptosis treating cancer in combination with other agents)

RN 50-91-9 HCAPLUS

CN Uridine, 2'-deoxy-5-fluoro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 134-46-3 HCAPLUS CN 5'-Uridylic acid, 2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c}
 & OH \\
 & R \\
 & R \\
 & OPO_3H_2
\end{array}$$

RN 95058-81-4 HCAPLUS CN Cytidine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS 48 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 10 OF 25270

HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:532524 HCAPLUS

Bayer Corporation, USA

TITLE:

Preparation of 2,4-diaminopyrimidines as inhibitors of

prolylpeptidase, inducers of apoptosis and cancer

treatment agents

INVENTOR(S):

Dumas, Jacques; Dixon, Julie; Sibley, Robert; Wood,

Jill

PATENT ASSIGNEE(S):

PCT Int. Appl., 47 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT I	NO.		KI	1D	DATE			A	PPLI	CATI	ои ис	o.	DATE	*		
	WO	2003	05548	89		- <i>-</i> L	2003	0710		W	0 20	02-U	s411	46	2002	1220		
		W:	AE.	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO.	CR.	CU.	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM.	HR.	HU.	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS.	LT.	LU.	LV.	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PI.	PT.	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KΖ,	MD,
•			RU,	ТJ,	TM										•			
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	.FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
	•		PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
				NE,														
PRIO	RITY	APP	•			•				US 2	001-	3430	47P	P	2001	1221		
GT	-																	

$$R^2$$
 R^1
 N
 R^4
 R^3
 R^4

$$CH_2 = CH_2 = R^5$$

$$\begin{bmatrix} CH_2 \end{bmatrix}_{m} \overset{O}{C} = R^5$$
IV

The title compds. [I or II; R1, R2 = H, halo, OH, etc.; R3 = H; R4 = AΒ (un) substituted alkyl, cycloalkyl, aryl, alkylaryl; or NR3R4 = (un) satd. 4-8 membered heterocyclyl which optionally contains 1-3 addnl. heteroatoms selected from N, O and S; A = III or IV; R5 = OH, OR6, NR8R9; R6 = alkyl, haloalkyl, aryl, haloaryl; R8, R9 = H, alkyl, aryl, etc.; n, m = 0-1], useful for the inhibiting prolylpeptidase, inducing apoptosis and treating cancer, were prepd. E.g., a 3-step synthesis of I [A = 4-(HO2C)C6H4CH2; R1 = H; R2 = Me; R3 = H; R4 = 2-thienylmethyl], starting from Me 4-(aminomethyl)benzoate and 2,4-dichloro-5-methylpyrimidine, was given. All exemplified compds. I were found to inhibit prolylpeptidase at or below of 10 .mu.M.

50-91-9, 5-Fluorodeoxyuridine 134-46-3, ΙT 5-Fluorodeoxyuridine monophosphate 95058-81-4, 2',2'-Difluorodeoxycytidine

III

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antiproliferative agent; prepn. of 2,4-diaminopyrimidines for inducing apoptosis treating cancer in combination with other agents)

50-91-9 HCAPLUS RN

Uridine, 2'-deoxy-5-fluoro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

134-46-3 HCAPLUS RN

5'-Uridylic acid, 2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

OH
$$R R$$

$$R R$$

$$OPO_3H_2$$

$$F$$

RN 95058-81-4 HCAPLUS CN Cytidine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$R = R$$
 OH

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 25260 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1952:42193 HCAPLUS

DOCUMENT NUMBER:

46:42193 46:7052a-c

ORIGINAL REFERENCE NO.: TITLE:

Scission of desoxyribonucleic acid with lead hydroxide

and isolation of desoxyriboside by continuous

counter-current partition

AUTHOR(S):

Weygand, Friedrich; Wacker, Adolf; Dellweg, Hanswerner

CORPORATE SOURCE: Univ. Heidelberg, Germany

8

SOURCE:

Zeitschrift fuer Naturforschung (1951), 6b, 130-4

CODEN: ZNTFA2; ISSN: 0372-9516

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

Desoxyribonucleic acid (I) from herring sperm was split with Pb hydroxide (II) in aq. soln. at 100.degree. (initial pH 7.3, 45 hrs.). Fresh II was added as the reaction progressed to maintain the pH 7.2.fwdarw.7.4. The concd. filtrate was partitioned 14 days in a 100-element continuous countercurrent app. (cf. C.A. 44, 7096e) between BuOH and water. From 25 g. I were obtained 510 mg. thymidine (III), 300 mg. guanine desoxyriboside (IV), 65 mg. adenine desoxyriboside (V), 60 mg. cytosine desoxyriboside (VI), and a few mg. of uracil desoxyriboside (VII). The desoxyribosides were partially sepd. chromatographically (H2O-satd. BuOH). Elements 1-18 contained non-hydrolyzed nucleotide (Rf 0.02). Elements 23-35 were

primarily VI (Rf 0.22). Elements 36-46 contained small amts. of VI and IV, not recovered. Elements 47-63 contained IV (Rf 0.16) and VII (Rf 0.34). Elements 64-70 contained IV, III, and a substance with Rf 0.34 (VII?). Elements 71-89 contained III and IV. Elements 80-100 contained III. Criteria for the identification of the different substances are given.

IT 951-77-9, Cytidine, deoxy- 951-78-0, Uridine, 2'-deoxy-

(prepn. of)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 951-78-0 HCAPLUS

CN Uridine, 2'-deoxy- (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 25261 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1952:8859 HCAPLUS

DOCUMENT NUMBER:

46:8859

ORIGINAL REFERENCE NO.:

46:1612i,1613a

TITLE:

SOURCE:

Application of the Dische diphenylamine reaction to

pyrimidine desoxynucleosides

AUTHOR(S):

Brady, T. G.; McEvoy-Bowe, E.

CORPORATE SOURCE:

Univ. Coll., Dublin, Ire.

Nature (London, United Kingdom) (1951), 168, 299-300

CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB By first treating thymidine and desoxycytidine with bromine and by heating the reactants for 30 min. instead of the usual 10 min., sufficient color develops with the Dische diphenylamine reaction (C.A. 24, 1879) to make it practical for their detn. This confirms the presence of a 2-desoxysugar

residue in thymidine. Bromination seems to cleave the glycoside-N linkage of both purine and pyrimidine desoxynucleosides in thymonucleic acids.

IT 951-77-9, Cytidine, deoxy-

(Dische diphenylamine reaction with)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L35 ANSWER 25262 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1952:752 HCAPLUS

DOCUMENT NUMBER:

46:752

ORIGINAL REFERENCE NO.:

46:154a-c

TITLE:

Some chemical properties of desoxyribose nucleosides

AUTHOR(S):

Manson, L. A.; Lampen, J. O.

CORPORATE SOURCE:

Washington Univ., St. Louis, MO

SOURCE:

Journal of Biological Chemistry (1951), 191, 87-93

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

Thymus nucleic acid (125 g.) hydrolyzed by an intestinal nucleotidase prepn. yielded 4.9 g. hypoxanthine desoxyriboside, colorless needles which softened at 218.degree. (uncor.), solidified, then decompd. on further heating; 2.7 g. thymidine, colorless needles from iso-PrOH m. 184.5-5.5.degree. (uncor.); and 3.6 g. cytosine desoxyriboside (I) picrate, darkened at 191.degree. and decompd. (from EtOH). The picrate in 15 cc. water treated with 0.3 cc. 50% KOH, the soln. chilled, filtered, and the filtrate and washings passed through Amberlite IR-4B yielded I, m. 206-8.degree. (uncor.). In the cysteine-H2SO4 test purine-bound desoxyribose in desoxyribose reacts partially, and cytosine-bound desoxyribose does not react under the specified conditions. The desoxyribose nucleosides are resistant to metaperiodate, whereas D-2-desoxyribose consumed 5 moles/mole sugar in 24 hrs. Conclusion: The lactal ring in the desoxyribose nucleosides is furanoid.

IT 951-77-9, Cytidine, deoxy-

(prepn. of)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 25263 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

1951:27364 HCAPLUS ACCESSION NUMBER:

45:27364 DOCUMENT NUMBER: ORIGINAL REFERENCE NO .: 45:4783c-d

Utilization of desoxyribosides in the synthesis of TITLE:

polynucleotides

Reichard, Peter; Estborn, Bengt AUTHOR(S): CORPORATE SOURCE: Karolinska Inst., Stockholm

Journal of Biological Chemistry (1951), 188, 839-46 SOURCE:

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal Unavailable LANGUAGE:

cf. C.A. 44, 10748a. N15-Desoxyribosides of cytosine, thymine, and hypoxanthine were prepd. biologically by growing Escherichia coli on a synthetic medium contg. N15H4 ion and isolating the desoxyribosides from the N15-desoxyribonucleic acid (I) formed. The desoxyribosides were injected into rats and their utilization studied by N15 analysis of purines and pyrimidines from I and ribonucleic acid. Desoxycytidine is utilized for the synthesis of thymine and cytosine in I, and thymidine for the synthesis of thymine in I. Desoxyhypoxanthosine is not utilized for the synthesis of any purines or pyrimidines in polynucleotides.

ΙT **365-07-1**, Thymidine, 5'-monophosphate

(in polynucleotide formation)

RN365-07-1 HCAPLUS

5'-Thymidylic acid (8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

IT 951-77-9, Cytidine, deoxy-

(utilization in synthesis of polynucleotides)

951-77-9 HCAPLUS RN

Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

L35 ANSWER 25264 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1951:11118 HCAPLUS

DOCUMENT NUMBER: 45:11118
ORIGINAL REFERENCE NO.: 45:1959b-c

TITLE: Uracil desoxyriboside AUTHOR(S): Dekker, C. A.; Todd, A. R.

CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK

SOURCE: Nature (London, United Kingdom) (1950), 166, 557-8

CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

The new compd. was obtained from 2 com. samples of desoxyribonucleic acid, and appeared to have been formed from cytosine desoxyriboside in the course of prepn. of the com. product or through bacterial contamination by an organism possessing an enzyme capable of deaminating cytosine desoxyriboside. The uracil desoxyriboside crystd. from 95% EtOH as needles or clusters of small needles, C9H12N2O5, m. 163.degree., [.alpha.]22D 50.degree. (.+-. 2.degree.) (c 1.1, N NaOH).

IT 951-78-0, Uridine, 2'-deoxy-(prepn. of)

RN 951-78-0 HCAPLUS

CN Uridine, 2'-deoxy- (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

L35 ANSWER 25265 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1951:11117 HCAPLUS

DOCUMENT NUMBER: 45:11117
ORIGINAL REFERENCE NO.: 45:1959a-b

TITLE: New derivatives of 5,5-diphenylhydantoin. II

AUTHOR(S): Hoffmann, Charles

SOURCE:

Bulletin de la Societe Chimique de France (1950)

Journal

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE:

LANGUAGE:

Unavailable

cf. C.A. 44, 6819a. 5,5-Diphenylhydantoin (I) derivs. sol. in H2O in the range pH 6-8 were desired for subcutaneous injection. Refluxing 10 g. I in 250 ml. H2O contg. 1.6 g. NaOH to which had been added 10 g. ClCH2CO2H in 50 ml. H2O (neutralized with 10 g. NaHCO3) for 4 hrs., cooling, satg. with CO2, filtering to remove unreacted I, and acidifying with CO2 gave 7 g. 5,5-diphenyl-3-hydantoinacetic acid, m. 285.degree. (from EtOH). In small portions 5 g. NH2C(:NH)NH2.HCNS, then 1.5 g. Bz2, were added to 1.5 g. Na in 100 ml. EtOH; refluxing 30 min., dilg. with 100 ml. H2O, and cooling gave 4.55 g. 5,5-diphenyl-2-iminohydantoin, m. above 290.degree.; HCl salt, m 220.degree.; Ac deriv., m. 275.degree..

951-78-0, Uridine, 2'-deoxy-IT

(prepn. of)

951-78-0 HCAPLUS RN

Uridine, 2'-deoxy- (6CI, 8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L35 ANSWER 25266 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1951:6355 HCAPLUS

DOCUMENT NUMBER:

45:6355

ORIGINAL REFERENCE NO.:

45:1170f-i

TITLE:

Exchange between free purines and pyrimidines and the aglucones of desoxyribosyl purines and desoxyribosyl

pyrimidines

AUTHOR(S):

MacNutt, Walter S. Univ., Copenhagen

CORPORATE SOURCE: SOURCE:

Nature (London, United Kingdom) (1950), 166, 444

CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE:

Journal

LANGUAGE: /

Unavailable

An exchange is demonstrated between purines and pyrimidines, linked as aglucones to the desoxyribosyl group, and free purines and pyrimidines added to the enzyme system. The mechanism apparently does not involve desoxyribosephosphate as an intermediate, since its addn. to the system causes no significant synthesis of desoxyribosides. Thymine, uracil, and 5-methylcytosine can exchange with purine desoxyribosides to give pyrimidine desoxyribosides. The exchange with uracil to form uracildesoxyriboside suggests for the first time a possible biol. role for this compd. Most purines (except uric acid) and 4-amino-5imidazolecarboxamide react with pyrimidine desoxyribosides to form

typically acid-labile purine desoxyribosides. Adenine and xanthine replace uracil to form the corresponding purine compds., the latter having an Rf value of 0.06, the lowest known for any compd. of this series. For this study an arbitrary system was adopted which consisted of 2 parts: (1) a crude enzyme prepn. from Lactobacillus helveticus; (2) measurement of the quantity of desoxyriboside (synthesized or destroyed) by microbiol. assay with Thermobacterium acidophilus R26. The enzyme prepn. contained neither adenine- nor cytosine-desoxyriboside deaminase. Individual desoxyribosides were sepd. by chromatography on paper, with BuOH-H2O-NH3 or BuOH-H2O-HOAc systems. Their positions were found under ultraviolet light and the amts. detd. after cutting out the areas of paper and extg. with H2O.

IT 951-78-0, Uridine, 2'-deoxy-

(prepn. of)

951-78-0 HCAPLUS RN

Uridine, 2'-deoxy- (6CI, 8CI, 9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L35 ANSWER 25267 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

1951:6043 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 45:6043

ORIGINAL REFERENCE NO.: 45:1037i,1038a-c

TITLE: Desoxyribonucleosides and related compounds. II. Proof

of the furanose structure of the natural

2-desoxyribonucleosides

AUTHOR(S): Brown, D. M.; Lythgoe, B.

CORPORATE SOURCE: Univ. of Cambridge, UK

SOURCE: Journal of the Chemical Society, Abstracts (1950)

1990-91

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 44, 2932d. The following were prepd. from purified herring-sperm desoxynucleic acid (I) by methods previously described by others: quanine desoxyriboside (II), C10H13O4N5.H2O, needles, and hypoxoxanthine analog (II), C10H12O4N4, microneedles. Chromatography on Al2O3 gave a means of sepg. the pyrimidine nucleoside-contg. fraction, giving thymidine (IV), m. 186.degree. (from MeOH-Et2O), and cytosine desoxyriboside (V), m. 210.degree. (from MeOH-Et2O). A rapid and sharp sepn. of IV and V from the hydrolyzate of I in H2O was effected with the cation-exchange resin "Zeo-Karb 215". IV passed through the column, whereas V was sorbed and subsequently eluted with 2% aq. pyridine. Provided the natural desoxynucleosides have a furanose structure, they should strongly resist NaIO4 oxidation. This proved to be the case with IV and V (which consumed

< 0.1 mole NaIO4/mole glycoside, after which the reaction ceased). The purine desoxyribosides also showed a very slight uptake of NaIO4 within 20 hrs. but continued to consume NaIO4 gradually. Within 142 hrs., III took up 2.4 moles NaIO4 and II consumed about 0.48 mole NaIO4 in 408 hrs. This autocatalytic reaction is discussed.</p>

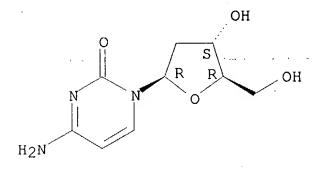
IT **951-77-9**, Cytidine, deoxy-

(sepn. from thymidine)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L35 ANSWER 25268 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1950:38399 HCAPLUS

DOCUMENT NUMBER: 44:38399

ORIGINAL REFERENCE NO.: 44:7381i,7382a-c

TITLE: Inhibition of growth of Lactobacillus leichmannii and

Thermobacterium acidophilus R26 by 5-bromouracil

AUTHOR(S): Weygand, Friedrich; Wacker, Adolf

CORPORATE SOURCE: Univ., Heidelberg, Germany

SOURCE: Zeitschrift fuer Naturforschung (1950), 5b, 46-7

CODEN: ZNTFA2; ISSN: 0372-9516

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

Vitamin B12 (or desoxyriboside) is a growth factor for L. leichmannii. When 2-4 .gamma. of 5-bromouracil (I) was added per ml. of medium, about 50% inhibition of growth was obtained in the presence of 0.3 m.gamma./ml. of vitamin B12, and total inhibition with 33 .gamma./ml. Thymine or thymidine competitively removed the inhibitory effect of I after 24-hrs. incubation; 49 .gamma./ml. of thymine or 6.6 .gamma./ml. of thymidine permitted half max. growth in the presence of 33 .gamma./ml. of I. Vitamin B12 (3.3 m.gamma./ml.) as well as the desoxyribosides of cytosine, quanine, and hypoxanthine (6.6 or 13.3 .gamma./ml.) did not remove the inhibition of 2 .gamma./ml. of I; cytosine desoxyriboside sometimes did after 72 hrs. The addn. of folic acid (0.2 .gamma./ml.) or vitamin B12 (3.3 m.gamma./ml.) did not strengthen the inhibition-removal effect of thymine. Quant. relations as described above were found for Thermobacterium acidophilus R26, except that guanine or hypoxanthine desoxyribosides (3.3 .gamma./ml.) were used as growth factors instead of vitamin B12. The growth of both bacteria was also inhibited by 5-chlorouracil; the quant. relations were the same as for I. The functions of folic acid, thymine, vitamin B12, and thymidine are interrelated. The NaCl and Fe citrate concns. of the medium used were previously reported (C.A. 43, 8441d) 10 times too high.

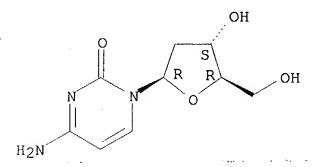
IT 951-77-9, Cytidine, deoxy-

(effect on growth-inhibiting action of 5-bromouracil)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L35 ANSWER 25269 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1949:22965 HCAPLUS

DOCUMENT NUMBER: 43:22965
ORIGINAL REFERENCE NO.: 43:4334d-e

TITLE: The nonspecificity of thymidine as a growth factor for

lactic acid bacteria

AUTHOR(S): Kitay, Estelle; McNutt, Walter S.; Snell, Esmond E. SOURCE: Journal of Biological Chemistry (1949), 177, 993-4

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB For 11 out of 13 organisms, thymidine (I) hypoxanthine desoxyriboside, and cytosine desoxyriboside were equiv. in vitamin B12 activity. For many, desoxyribonucleic acid and refined liver ext. were active. Ascorbic acid was only rarely effective. Lactobacillus delbrueckii 730 was unique in specifically requiring I.

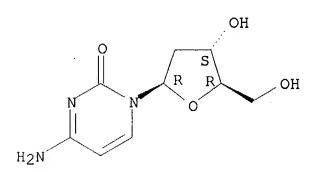
IT 951-77-9, Cytidine, deoxy-

(vitamin B12 activity of, for lactic acid bacteria)

RN 951-77-9 HCAPLUS

CN Cytidine, 2'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L35 ANSWER 25270 OF 25270 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1949:888 HCAPLUS

DOCUMENT NUMBER: 43:888
ORIGINAL REFERENCE NO.: 43:270b-c

TITLE:

Thymine desoxyriboside as an essential growth factor

for lactic acid bacteria

AUTHOR(S): SOURCE:

Snell, Esmond E.; Kitay, Estelle; McNutt, Walter S. Journal of Biological Chemistry (1948), 175, 473-4

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB cf. C.A. 42, 7832g. Thymine desoxyriboside (I) is an essential growth factor for 2 strains of Lactobacillus leichmannii and for Leuconostoc citrovorum. A widespread requirement for I among lactic acid bacteria is indicated.

IT 50-89-5, Thymine, deoxyriboside

(as growth factor for lactic acid bacteria)

RN 50-89-5 HCAPLUS

CN Thymidine (8CI, 9CI) (CA INDEX NAME)

US 100700710NP1



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